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— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

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For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: COMBINATIONS OF STEROL ABSORPTION INHIBITOR(S) WITH BLOOD MODIFIER(S) FOR TREATING VASCULAR CONDITIONS

(57) Abstract: The present invention provides compositions, therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor; and (b) at least one blood modifier, which can be useful for treating vascular conditions and lowering plasma levels of sterols.

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/JP 02/02013

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K45/06 A61P9/00 A61K31/395

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data, MEDLINE, EMBASE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 95 35277 A (SCHERING CORP) 28 December 1995 (1995-12-28) page 6; claims 6,7,9 ---	1-48
Y	EP 1 036 563 A (MERCK & CO INC) 20 September 2000 (2000-09-20) page 9, line 50 -page 10 ---	1-48
P,X	WO 01 96347 A (SQUIBB BRISTOL MYERS CO ;CHEN BANG CHI (US); ROBL JEFFREY A (US);) 20 December 2001 (2001-12-20)  page 51, line 1-10; claims 10,11 page 37, line 20-25 --- -/--	1-6, 8-21, 35-39, 42-48



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*G\* document member of the same patent family

Date of the actual completion of the international search

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## INTERNATIONAL SEARCH REPORT

Internal Application No  
PCT/US 02/02013

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 02 50068 A (AVENTIS PHARMA GMBH) 27 June 2002 (2002-06-27)  page 8 -page 10; claim 8 ---	1-11, 35-37, 42-48
E	WO 02 50060 A (AVANTIS PHARMA DEUTSCHLAND GMB) 27 June 2002 (2002-06-27)  page 8 -page 10; claim 8 ---	1-11, 35-37, 42-48
E	WO 02 50027 A (AVENTIS PHARMA GMBH) 27 June 2002 (2002-06-27)  page 8 -page 10; claim 8 ---	1-11, 35-37, 42-48
E	WO 02 26729 A (BOUERES JULIA K ;DESAI RANJIT C (US); KOYAMA HIROO (US); MERCK & C) 4 April 2002 (2002-04-04)  page 4, line 10-15; claims 37,46 ---	1-11, 18-20, 35-37, 42-48
E	WO 02 064094 A (DROPINSKI JAMES F ;BERGER JOEL P (US); JONES A BRIAN (US); LIU KUN) 22 August 2002 (2002-08-22)  page 34; claims 34,43 ---	1-11, 18-20, 35-37, 42-48
Y,P	KOSOGLOU T. ET AL: "Coadministration of ezetimibe and fenofibrate leads to favorable effects on Apo CII and LDL subfractions" ATHEROSCLEROSIS, vol. 2, no. Suppl, 2001, page 89 XP001132089 abstract ---	1-48
P,Y	DAVIS H. R. ET AL: "The synergistic hypocholesterolemic activity of the potent cholesterol absorption inhibitor, ezetimibe, in combination with 3-hydroxy-3-methylglutaryl coenzyme A reductase inhibitors in dogs" METABOLISM, vol. 50, no. 10, October 2001 (2001-10), pages 1234-1241, XP008011711 abstract; figure 6 -----	1-48

# INTERNATIONAL SEARCH REPORT

Int. application No.  
PCT/US 02/02013

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
  
Although claims 46,48 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☒ Claims Nos.: partially 1-48  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

Continuation of Box I.2

Claims Nos.: partially 1-48

Present claims 1,2,4-10 relate to an extremely large number of possible compounds defined as sterol absorption inhibitors of Formula (I), (III)-(IX). In fact, the claims contain so many options, variables, possible permutations and provisos that a lack of clarity (and conciseness) within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible.

Present claims 1, 11, 12, 14, 16, 18, 21, 23, 25, 26, 30, 32, 35, 36, 38, 39, 42, 43-48 relate to compounds/compositions defined by reference to pharmacological characteristics or properties, namely: "sterol absorption inhibitor" (claims 1, 44, 47) "sterol absorption inhibitor prodrug" (claims 1, 46, 47) "blood modifier" (claims 1, 11, 43, 46, 47); "an anticoagulant" (claim 12); "an anti-thrombotic agent" (claim 14); "a fibrinogen receptor antagonist" (claim 16); "a platelet inhibitor" (claim 18); "a platelet aggregation inhibitor" (claim 21); "a hemorrhheologic agent" (claim 23); "a lipoprotein associated coagulation inhibitor" (claim 25); "a factor Xa inhibitor" (claim 26); "heparinoid" (claim 30); "a factor VIIa inhibitor" (claim 32); "cholesterol biosynthesis inhibitor" (claim 35); "HMG CoA reductase inhibitor" (claim 36); "bile acid sequestrant" (claim 38); "low density lipoprotein receptor activator" (claim 39); "an antioxidant" (claim 42). The claims cover all compounds having these characteristics or properties, whereas the application provides support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds/compositions. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Furthermore claims 27, 33, 40-42 relate to compounds/compositions defined by reference to vague characteristics or properties, namely: "derivatives" (claim 27); "analogues, derived peptides" (claim 33); "an omega 3 fatty acid" (claim 40); "natural water soluble fiber" (claim 41); "a vitamin" (claim 42).

The claims cover all compounds having these characteristics or properties, whereas the application provides support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT for only a very limited number of such compounds/compositions. Again the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be clear, supported and disclosed, namely those parts relating to the compounds specifically mentioned by chemical name in claims 3, 13, 15, 17, 19, 20, 22, 24, 27, 29, 31, 33, 34, 37 excluding the vague denomination of derivative or analogue with due regard to the general idea underlying the present application

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International

Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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